Welcome to STN International! Enter x:x

LOGINID: ssspta1611sxp

PASSWORD: 10 vinnie

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * * SESSION RESUMED IN FILE 'MARPAT' AT 17:05:19 ON 25 FEB 2004 FILE 'MARPAT' ENTERED AT 17:05:19 ON 25 FEB 2004 COPYRIGHT (C) 2004 American Chemical Society (ACS) LOGOFF? (Y)/N/HOLD:N

COMMAND TERMINATED (SYSTEM ERROR)
REENTER FILE 'MARPAT'
AND TRY AGAIN, OR ENTER '?' FOR MORE INFORMATION.

=> file reg COST DISPLAY IS INCOMPLETE COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 1.26 156.89

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 17:05:38 ON 25 FEB 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 FEB 2004 HIGHEST RN 654050-72-3 DICTIONARY FILE UPDATES: 24 FEB 2004 HIGHEST RN 654050-72-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

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L3 STRUCTURE UPLOADED

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L3 HAS NO ANSWERS

L3 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

Patel <2/25/2004>

=> s 13 sss full

FULL SEARCH INITIATED 17:06:25 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

0 SEA SSS FUL L3

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COST DISPLAY IS INCOMPLETE

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

155.84 312.73

ENTRY SESSION

FULL ESTIMATED COST

FILE 'MARPAT' ENTERED AT 17:06:33 ON 25 FEB 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 08) (20040220/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

6680073 20 JAN 2004 US

DE 10317487 22 JAN 2004

1382651 21 JAN 2004

JP 2004030844 29 JAN 2004

WO 2004009876 29 JAN 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s 13 sss full

FULL SEARCH INITIATED 17:06:47 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 811 TO ITERATE

100.0% PROCESSED 811 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.06

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=> file caold

COST DISPLAY IS INCOMPLETE

COST IN U.S. DOLLARS

FULL ESTIMATED COST

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109.42 422.15

FILE 'CAOLD' ENTERED AT 17:07:00 ON 25 FEB 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

Patel

<2/25/2004>

10612646.5 Page 3

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s 13 sss full

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 17:07:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS

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SEARCH TIME: 00.00.01

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SINCE FILE TOTAL ENTRY SESSION 0.42 578.41

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 17:07:13 ON 25 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 25 Feb 2004 VOL 140 ISS 9 FILE LAST UPDATED: 24 Feb 2004 (20040224/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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Page 4

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(FILE 'HOME' ENTERED AT 16:55:45 ON 25 FEB 2004)

FILE 'REGISTRY' ENTERED AT 16:55:54 ON 25 FEB 2004

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 16:56:32 ON 25 FEB 2004

FILE 'REGISTRY' ENTERED AT 17:05:38 ON 25 FEB 2004

L3 STRUCTURE UPLOADED

L4 0 S L3 SSS FULL

FILE 'MARPAT' ENTERED AT 17:06:33 ON 25 FEB 2004 L5 1 S L3 SSS FULL

FILE 'CAOLD' ENTERED AT 17:07:00 ON 25 FEB 2004 S L3

FILE 'REGISTRY' ENTERED AT 17:07:05 ON 25 FEB 2004 L6 0 S L3 SSS FULL

FILE 'CAOLD' ENTERED AT 17:07:07 ON 25 FEB 2004 L7 0 S L6 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:07:13 ON 25 FEB 2004

=> s 15

L8 1 L5

=> d 18 fbib hitstr abs total

Page 5

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ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
2000:210152 CAPLUS
132:251069
Preparation of N-phenylthiopheneimidamides and analogs as NO synthase inhibitors and oxygen scavengers
Bigg, Dennis; Chabrier De Lassauniere, Pierre-Etienne; Auvin, Serge;
Harnett, Jeremiah; Ulibarri, Gerard
Societe De Conseils De Recherches Et D'Applications Scientifiques
(S.C.R.A.S. Fr.
FCT Int. Appl., 74 pp.
CODEN: PIXXD2
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WO 2000017191 A2 20000330 WO 1999-FR2251 19990922
WO 2000017191 A3 2001026
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GH, HR, HU, ID, LL, IN, IS, JP, KE, KG, KF, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MK, NO, NZ, PL, FT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, LA, UG, US, UZ, VN, VU, ZA, ZW, AM, AZ, BY, KG, KZ, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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FR 1998-11867 A 19980923

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WO 1999-FR2251 W 19990922
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FR 1998-11867 A 1980923
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W0 1999-FR2251 W 19990922
BR 1998-1867 A 19980923
W0 1999-FR2251 W 19990922
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, 1E, SI, LT, LV, F1, RO
                          BR 9913899
                           EP 1115720
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                          JP 2003517444
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L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ZA 2001003206 A 20020719 EA 2001206 201001419

US 6620840 B1 20030916 US 2002-255849 20202926

FR 1998-11867 A 19980923 W0 1999-FR2251 W 19990923 W0 1999-FR2251 W
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ΙI

AB R121222NCRNH2 [I; R = CH2NO2, alkyl, (hetero)aryl, (di) (alkyl)amino, etc.; R1 = (un)substituted anilinophenyl, -phenoxyphenyl, -C-attached carbazelyl, etc.; Z = bond or phenylene; Z1 = bond, O, S, NH, CH2NH, CO, CONH, etc.; Z2 = bond, O, NH, oxyalkylene, (heteroatom-interrupted) alkylene, etc.; were prepared Thus, 4-(H2N)CGH4NHPh was amidated by Me 2-thiophenethiocarboximidate hydroiodide to give title compound II.HI. Data for biol. activity of I were given.

10612646.5

Page 6

=> s NO synthase and inhibitors L9 2169 NO SYNTHASE AND INHIBITORS

=> s 19 and carbazole

L10 0 L9 AND CARBAZOLE

=> s 19 and thien

L11 4 L9 AND THIEN

=> d ll1 fbib hitstr abs total

Patel

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ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN 2003:376863 CAPLUS
                  138:368887
                 138:368887
Preparation of 2-amino-4-(heteroarylethyl)thiazoline derivatives as inhibitors of inducible 80-synthase and their use in the treatment of Parkinson's disease
Bacque, Eric; Bigot, Antony: Carry, Jean-Christopher Mignani, Serge Aventis Pharma S.A., Fr.
FCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT
                 Patent
French
FAN.CNT 1
PATENT NO.
                                                            KIND DATE
42 A1 20030
                                                                                                                                                           APPLICATION NO. DATE
                                                                                                    20030515
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                                           1040142 A1 20030515 W0 2002-FR3809 20021107
AE, AG, AI, AM, AT, AN, AZ, BA, BE, BG, BR, BY, BZ, CA, CH, CN, CC, CR, CU, CZ, DE, DK, DM, DZ, BC, EE, ES, FI, GB, GD, GE, GH, GH, HR, HU, 1D, LL, IN, IS, JF, KE, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MK, MZ, NO, NZ, MC, PM, FL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SI, TJ, TM, TN, TT, TZ, UA, UG, US, UZ, VC, VN, VU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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                               PL, PT,
TZ, UA,
MD, RU,
RW: GH, GM,
CH, CY,
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US 2002-352977PP 20020130
FR 2001-14509 20011109
US 2002-291110 20021108
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                MARPAT 138:368887
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ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN 2003:319488 CAPLUS 138:337988 Novel 2-[(iminomethyl)amino]phenyl derivatives useful as inhibitors of 80 synthase and lipid peroxidation, their preparation, their application as medicines, and pharmaceutical compositions containing them Chabrier De Lassauniere, Pierre Etienne; Auvin, Serge; Bigg, Dennis; Auguet, Michel; Harnett, Jeremiah Fr. U.S. Pat. Appl. Publ., 78 pp., Cont.-in-part of U.S. Ser. No. 882,264. CODEN: USXXCO Patent DT Pac LA English FAN.CNT 4 PATENT NO. APPLICATION NO. DATE

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FR 1997-3528 A 19970620
FR 1997-7701 A 19970620
FR 1998-FR288 W 19980216
US 1998-FR1250 W 19980615
US 1999-456205 A319991207
US 2001-802264 A220010615
FR 1997-3528 19970324 KIND DATE US 2003078420 A1 20030424 ## 2761066 ## 1 19980925 ## 1997-3528 ## 1997-620 ## 1997-3528 ## 1997-620 ## FR 1997-3528 A 19970324 FR 1997-7701 A 19970620 WO 1998-FR288 W 19980216 WO 1998-FR1250 W 19980615 US 1999-381749 A219990922

L11 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB The invention concerns the use of 2-amino-4-[2-heteroarylethyl]thiazoline derivs. I or their pharmaceutically acceptable salts as inhibitors of inducible NO-synthamse, i.e., NOS-2 [wherein: Het = 2- or 3-thienyl, 2- or 5-pyrimidyl, 2-, 3-, or 4-pyridyl, or 2-, 4-, or 5-thiazolyl]. A 4-step preparation of one example is given, plus 3 standard formulations. Thus, vinyl addition reaction of the doubly-protected amino alc. (4R)-tert-Bu 2,2-dimethyl-4-vinylexazolidine-3-carboxylate with 9-BRN, and coupling of the borylated product with 3-bromathiophene using Pd(PPh3)4, followed by deprotection using RCl in aqueous dioxane, gave (2R)-2-amino-4-(3-thienyl)-1-butanol (II) as the HCl salt. The latter was N-thiocarbamoylated with tert-Bu isothiocyanate, and cyclized to a thiazoline in aqueous HCl, to give invention compound III as the hydrochloride.

I were tested against rat or mouse NOS-2, and recombinant bovine NOS-3. I had ICSO values < 10 µM against NOS-2, with a selectivity (ICSO NOS-3/NOS-2) > 30. The toxicities of I are weak, with LDSO > 40 mg/kg s.c. in mice.

BECH 6 THER BAE 6 CITER REFERENCE AVAILABLE FOR THIS EXPENDEN

3.c. in mice.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L11 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
US 1999-456205 A319991207
    PATENT FAMILY INFORMATION:
FAN 1998:672540
PATENT NO. KIND
                                                                                                                               KIND DATE
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9842696 Al. 19981001 PW: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, II, IS, JP, KE, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MY, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TK, UA, UG, US, UZ, VN, VU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NIL, PT, SE, BF, BJ, CF, CG, CI, GA, GN, ML, MR, NE, SN, TD, TG

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WO 1998-FR288 W 19980216
EP 1998-909540 19980216
                                 EF 973763 A1 20000126 EP 1998-909540 19980216
EP 973763 B1 20030528
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, HC, PT,
IE, SI, FI, RO
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L11 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) OS MARPAT 138:337988 GI

AB Title compds., e.g., N=[4-[[[[4-(3.5-di-tert-butyl-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl]amino]methyl]phenyl]thiophene-2-carboximidamide (I) are prepared The compds. are inhibitors of #0 syntheses, and are also antioxidants which inhibit lipid peroxidn. Approx. 70 examples are prepared I had IC50 for inhibiting rat neuronal #0 synthase in vitro < 3.5 μM, and the IC50 for inhibiting rat cerebral lipid peroxidn. in vitro is < 30 μM.

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Rigot, Antony: Bacque, Eric: Tabart, Michel
Aventis Pharma S.A., Fr.
PCT Int. Appl., 126 pp.
CODEN: PIXXD2
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1GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, SF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, ME, SM, TD, TG
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TI Pyrimidine, pyridine, pteridinone and indazole derivatives as enzyme inhibitors
IN Bigham, Eric Cleveland; Reinhard, John Frederick, Jr.; Moore, Philip Keith; Babbedge, Rachel Cecilia; Knowles, Richard Graham; Nobbs, Malcolm Stuart; Bull, Donald
PA Wellcome Foundation Ltd., UK
SO PCT Int. Appl., 46 pp.
COORN: PIXXD2
DT Patent
LA English
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AB

The use of a compound which binds at the tetrahydrobiopterin site of 80 synthase for the treatment of conditions where there is an advantage in inhibiting neuronal BO synthase with little or no inhibition of endothelial 80 synthase is disclosed. Pharmaceutical formulations comprising such compds., i.e., pyridinediamines, pyrimidinediamines and indazole derivs., and processes

L11 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB The invention concerns 2-aminothiazoline derivs. I [wherein: either R] = H or alkyl and R2 = alkyl, -alk-NH2, CH-R3, CH2S-R4 or Ph substituted by nitro or NHC(:NH) CH3; or R1 = alkyl and R2 = H; R3 = C3-6 cycloalkyl, pyridyl, pyridyl, Poxide, thienyl, thiazolyl, imidazolyl, pyrazinyl, triazolyl, Ph, or Ph substituted by NO2, CH, or carboxy radical; R4 = pyridyl or pyridyl N-oxide radical; alk = alkylene radical] and their pharmaceutically acceptable salts, excluding some known compds. The invention also concerns the use of these compds, as selective inhibitors of inducible BO synthase (i.e., NOS-2 or iNOS), as well as processes and intermediates for their preparation Over 30 synthetic examples are given. For instance, di-Et acetamidomalonate was alkylated with 3-picolyl chloride HCl, then converted in several steps to (2R]-2-amino-3-(3-pyridyl)-1-propanol di-HCl. Reaction of the amino group with tert-PublCS gave a thiourea derivative, which was cyclized in aqueous GN HCl to give title compound (+)-(R)-11, 2RCl. Compds. I inhibited NOS-2 in vitro with ICSD values ≤ 10 µM, with at least 20-fold selectivity for NOS-2 over NOS-3. Compds. I had ow toxicity in mice, with the L050 being > 40 mg/kg s.c. RE.CNI 1 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) for their prepn. are also disclosed. An example compd., 1-methyl-4-[5-(2.3,5-trichlorophenyl)-2-pyrimidinyl]-1-methylpiperazine (1) inhibited NO synthase in vitro (IC50 = 5.0 mM). Another compd., 7-nitroindazole (II), inhibited NO synthase in mice (IC50 = 1 mM).

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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FULL ESTIMATED COST	29.84	608.25

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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